

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
12 May 2005 (12.05.2005)

PCT

(10) International Publication Number  
**WO 2005/042515 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 401/04**,  
213/46, A61K 31/44, A61P 25/00

(21) International Application Number:  
PCT/US2004/030914

(22) International Filing Date: 12 October 2004 (12.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/514,300 24 October 2003 (24.10.2003) US

(71) Applicant (for all designated States except US): **ELI LILLY AND COMPANY** [US/US]; Lilly Corporate Center, Indianapolis, Indiana 46285 (US).

(71) Applicant and

(72) Inventor: **TIMPE, Carsten** [DE/DE]; Lilly Forschung GmbH, Essener Strasse 93, D-22419 Hamburg (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BORGHESE, Alfio** [IT/BE]; Lilly Development Centre S. A., Rue Granbonpre 11, B-1348 Mont-Saint-Guibert (BE). **COFFEY, David, Scott** [US/US]; 6246 Tension Way, Indianapolis, Indiana 46236 (US). **FOOTMAN, Pamela, Kaye** [US/US]; 1728 West 65th Place, Indianapolis, Indiana 46260 (US). **PEDERSEN, Steven, Wayne** [US/US]; 3724 Ontario Circle, Indianapolis, Indiana 46268 (US). **REUTZEL-EDENS, Susan, Marie** [US/US]; 7519 Fox Hollow Ridge, Zionsville, Indiana 46077 (US). **TAMEZE, Shella, Lenyonga** [CM/US]; 7449 Oakland Hills Drive, Indianapolis, Indiana 46236 (US). **WEBER, Carsten** [DE/DE]; Lilly Forschung GmbH, Essener Strasse 93, D-22419 Hamburg (DE).

(74) Agents: **DESAI, Manisha, A. et al.**; ELI LILLY AND COMPANY, P. O. Box 6288, Indianapolis, Indiana 46206-6288 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declarations under Rule 4.17:**

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

**Published:**

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL CRYSTALLINE FORMS OF {2-[1-(3,5-BIS-TRIFLUOROMETHYLBENZYL)-5-PYRIDIN-4-YL-1H-[1,2,3]TRIAZOL-4-YL]-PYRIDIN-3-YL}-(2-CHLOROPHENYL)-METHANONE

(57) Abstract: The present invention provides novel crystalline forms of {2-[1-(3,5-bis(trifluoromethyl)benzyl)-5-pyridin-4-yl-1H-[1,2,3]triazol-4-yl]-pyridin-3-yl}-(2-chlorophenyl)-methanone, compositions thereof, intermediates thereof, methods of using the same, processes for making the same, and processes for making intermediates thereof. X-16289

WO 2005/042515 A1